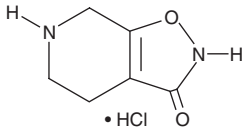
PRODUCT INFORMATION

Gaboxadol (hydrochloride)

Item No. 16355

CAS Registry No.:	85118-33-8
Formal Name:	4,5,6,7-tetrahydro-isoxazolo[5,4-c] pyridin-3(2H)-one, monohydrochloride
Synonym:	THIP
MF:	$C_6H_8N_2O_2 \bullet HCl$
FW:	176.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 210 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Gaboxadol (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the gaboxadol (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Gaboxadol (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of gaboxadol (hydrochloride) in these solvents is approximately 20 and 0.1 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of gaboxadol (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of gaboxadol (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Gaboxadol is a $GABA_A$ receptor agonist whose potency varies depending on the receptor subunit composition (partial agonist at α1β2γ2 (ED_{50} = 143 µM), full agonist at α5 (ED_{50} = 28-129 µM), and super agonist at α4β3δ (ED_{50} = 6 µM)).[1] It also acts as an antagonist at ρ1 $GABA_C$ receptors (IC_{50} = 25 µM).[1] Gaboxadol has been studied as a non-opioid analgesic and a novel hypnotic agent.[2-4]

References

1. Johnston, G.A.R., Chebib, M., Hanrahan, J.R., *et al.* Neurochemicals for the investigation of $GABA_C$ receptors. *Neurochem. Res.* **35(12)**, 1970-1977 (2010).
2. Drasbek, K.R. and Jensen, K. THIP, a hypnotic and antinociceptive drug, enhances an extrasynaptic $GABA_A$ receptor-mediated conductance in mouse neocortex. *Cereb. Cortex* **16(8)**, 1134-1141 (2006).
3. Vashchinkina, E., Panhelainen, A., Vekovischeva, O.Y., *et al.* GABA site agonist gaboxadol induces addiction-predicting persistent changes in ventral tegmental area dopamine neurons but is not rewarding in mice or baboons. *J. Neurosci.* **32(15)**, 5310-5320 (2012).
4. Deacon, S., Staner, L., Staner, C., *et al.* Effect of short-term treatment with gaboxadol on sleep maintenance and initiation in patients with primary insomnia. *Sleep* **30(3)**, 281-287 (2007).

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